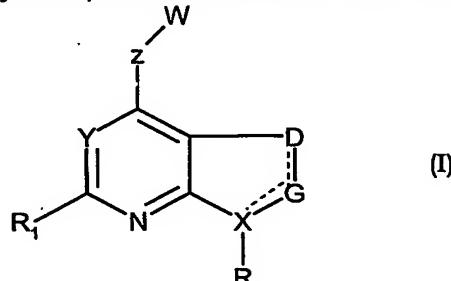


Claims

1. Compounds of formula (I) including stereoisomers, prodrugs and pharmaceutically acceptable salts or solvates thereof



5

wherein

the dashed line may represent a double bond;

R is aryl or heteroaryl, each of which may be substituted by 1 to 4 groups J selected from:

halogen, C1-C6 alkyl, C1-C6 alkoxy, halo C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, halo C1-C6 alkoxy, -C(O)R₂, nitro, hydroxy, -NR₃R₄, cyano or a group Z;

R₁ is hydrogen, C3-C7 cycloalkyl, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 thioalkyl, C2-C6 alkenyl, C2-C6 alkynyl, halo C1-C6 alkyl, halo C1-C6 alkoxy, halogen, NR₃R₄ or cyano;

R₂ is a C1-C4 alkyl, -OR₃ or -NR₃R₄;

R₃ is hydrogen or C1-C6 alkyl;

R₄ is hydrogen or C1-C6 alkyl;

R₅ is a C1-C6 alkyl, halo C1-C6 alkyl, C1-C6 alkoxy, halo C1-C6 alkoxy, C3-C7 cycloalkyl, hydroxy, halogen, nitro, cyano, -NR₃R₄; -C(O)R₂;

R₆ is a C1-C6 alkyl, halo C1-C6 alkyl, C1-C6 alkoxy, halo C1-C6 alkoxy, C3-C7 cycloalkyl, hydroxy, halogen, nitro, cyano, -NR₃R₄; -C(O)R₂;

R₇ is hydrogen, C1-C6 alkyl, halogen or halo C1-C6 alkyl;

R₈ is hydrogen, C3-C7 cycloalkyl, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, NR₃R₄ or cyano;

R₉ is hydrogen, C3-C7 cycloalkyl, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, NR₃R₄ or cyano;

R₁₀ is hydrogen, C3-C7 cycloalkyl, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, NR₃R₄ or cyano;

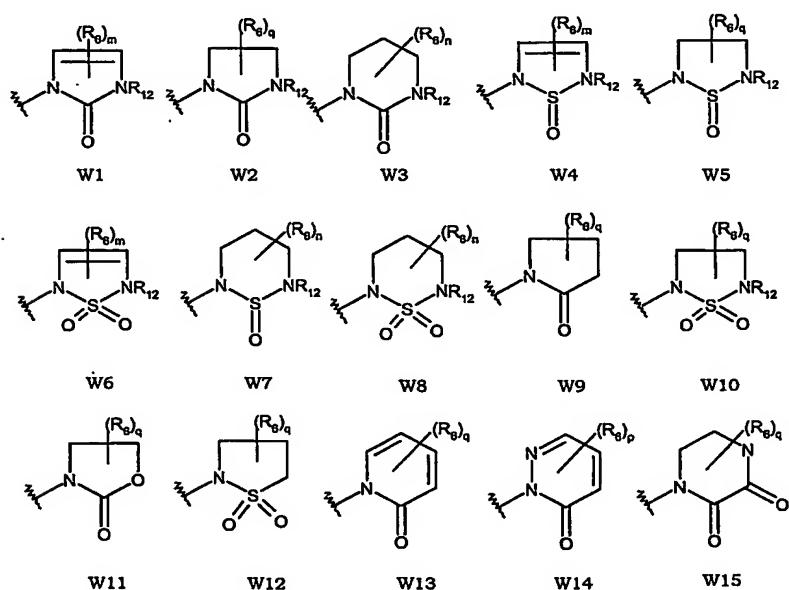
R₁₁ is hydrogen, C3-C7 cycloalkyl, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, NR₃R₄ or cyano;

R₁₂ is R₃ or -C(O)R₂;

D is CR₆R₉ or is CR₆ when double bonded with G;

G is $CR_{10}R_{11}$ or is CR_{10} when double bonded with D or is CR_{10} when double bonded with X when X is carbon;
 X is carbon or nitrogen;
 Y is nitrogen or $-CR_7$;
 5 W is a 4-8 membered ring, which may be saturated or may contain one to three double bonds, and in which:
 - one carbon atom is replaced by a carbonyl or $S(O)_m$; and
 - one to four carbon atoms may optionally be replaced by oxygen, nitrogen or NR_{12} , $S(O)_m$, carbonyl, and such ring may be further substituted by 1 to 8 R_6 groups;
 10 Z is a 5-6 membered heterocycle, which may be substituted by 1 to 8 R_5 groups or a phenyl ring, which may be substituted by 1 to 4 R_5 groups;
 15 m is an integer from 0 to 2.

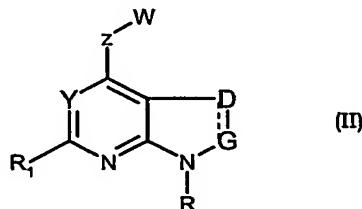
2. Compounds according to claim 1, in which W is selected among the following groups:



20 in which:
 W1 represents a 1,3-dihydro-2H-imidazol-2-one derivative;
 W2 represents a imidazolidin-2-one derivative;
 W3 represents a tetrahydropyrimidin-2(1H)-one derivative;
 W4 represents a 2,5-dihydro-1,2,5-thiadiazole 1-oxide derivative;
 W5 represents a 1,2,5-thiadiazolidine 1-oxide derivative;
 25 W6 represents a 2,5-dihydro-1,2,5-thiadiazole 1,1-dioxide derivative;
 W7 represents a 1,2,6-thiadiazinane 1-oxide derivative;

W8 represents a 1,2,6-thiadiazinane 1,1-dioxide derivative;
 W9 represents a pyrrolidin-2-one derivative;
 W10 represents a 2,5-dihydro-1,2,5-thiadiazolidine 1,1-dioxide derivative;
 W11 represents a 1,3-oxazolidin-2-one derivative;
 5 W12 represents a isothiazolidine 1,1-dioxide derivative;
 W13 represents a 2(1H)-pyridinone derivative;
 W14 represents a 3(2H)-pyridazinone;
 W15 represents a 2,3-piperazinone derivative;
 and
 10 q is an integer from 0 to 4,
 n is an integer from 0 to 6,
 p is an integer from 0 to 3 and
 m, R₆ and R₁₂ are defined as in claim 1.

15 3. Compounds according to claim 1, having formula (II)



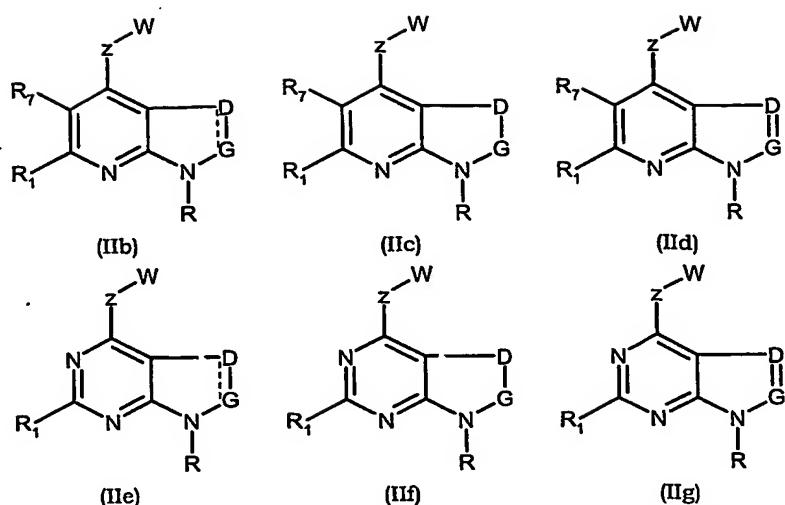
in which X is nitrogen or carbon and R, R₁, Y, Z, W, D, and G have the meanings as defined in claim 1.

20 4. Compounds according to claim 3, of formula (II), in which W is selected in the group consisting from: W1, W2, W3, W9, W10, W11, W12, W13, and W14.

25 5. Compounds according to claim 3 of formula (II), in which Z is selected in the following group: pyrimidine, pyridine, thiazole, pyrazole, triazole and phenyl.

30 6. Compounds according to any of claims from 2 to 3 of formula (II), in which W is selected in the group consisting from: W1, W2, W3, W9, W10, W11, W12, W13 and W14 and in which Z is selected from the following heterocyclic groups: pyrimidine, pyridine, thiazole, pyrazole, triazole and phenyl.

7. Compounds according to any one from claim 1 to claim 6 of formula (IIb), (IIc), (IId), (IIe), (IIf), and (IIg)



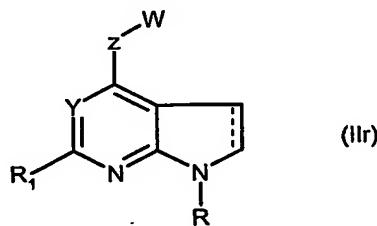
where R, R₁, R₂, Z, W, D, and G have the meanings as defined in claim 1.

5 8. Compounds according to claim 7 of formula (IIb), (IIc), (IId), (IIe), (IIIf) and (IIg),
in which W is selected in the group consisting from: W1, W2, W3, W9, W10,
W11, W12, W13 and W14.

10 9. Compounds according to claims 7 and 8 of formula (IIb), (IIc), (IId), (IIe), (IIIf)
and (IIg), in which Z is selected in the group consisting from: pyrimidine,
pyridine, thiazole, pyrazole, triazole and phenyl.

15 10. Compounds according to any of claims from 7 to 9 of formula (IIb), (IIc), (IId),
(IIe), (IIIf) and (IIg), in which W is selected in the group consisting from: W1,
W2, W3, W9, W10, W11, W12, W13 and W14 and in which Z is a derivative of
the following heterocyclic group: pyrimidine, pyridine, thiazol, pyrazol, triazol
and phenyl.

20 11. Compounds according to claim 7 of formula (IIr), which correspond to the
compounds of formula (II), where D and G are $-\text{CH}_2-$.

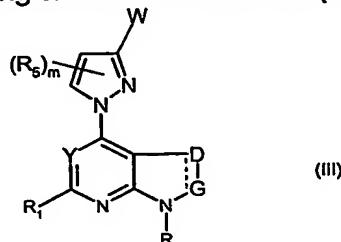


12. Compounds according to claim 11 of formula (IIr), in which W is selected in
25 the group consisting from: W1, W2, W3, W9, W10, W11, W12, W13 and W14.

13. Compounds according to claims 11 and 12 of formula (IIr), in which Z is selected in the group consisting from: pyrimidine, pyridine, thiazol, pyrazol, triazol and phenyl.

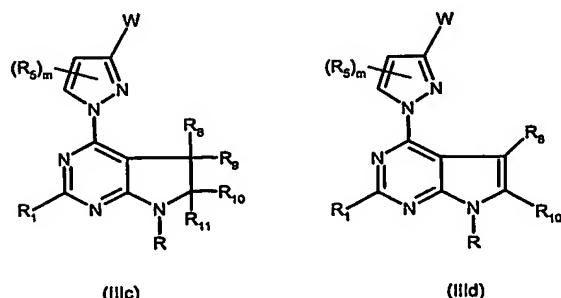
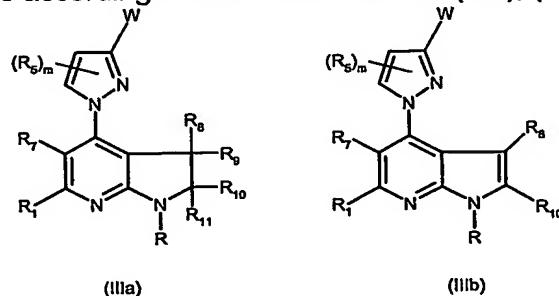
5 14. Compounds according to any of claims from 11 to 13 of formula (IIr), in which W is selected in the group consisting from: W1, W2, W3, W9, W10, W11, W12, W13 and W14 and in which Z is selected in the group consisting from: pyrimidine, pyridine, thiazol, pyrazol, triazol and phenyl.

10 15. Compounds according to claim 3 of formula (III),



in which Z is a pyrazolyl derivative and R, R₁, R₅, Y, W, D, m and G have the meanings as defined in claim 1 and the dashed line may represent a double bond.

15 16. Compounds according to claim 15 of formula (IIIa), (IIIb), (IIIc) and (IIId),

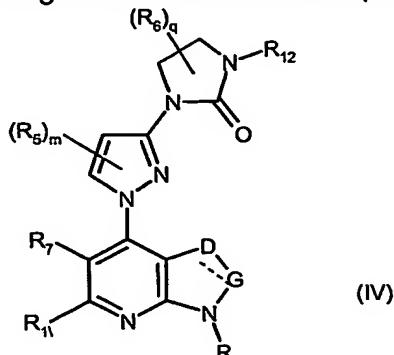


in which R, R₁, R₅, R₇, R₈, R₉, R₁₀, R₁₁, W, D, m and G have the meanings as defined in claim 1.

20 17. Compounds according to claim 16 of formula (IIIa), (IIIb), (IIIc) and (IIId), in which W is selected in the group consisting from: W1, W2, W3, W9, W10,

W11, W12, W13, W14 and R, R₁, R₅, R₇, R₈, R₉, R₁₀, R₁₁, and m have the meanings as defined in claim 1.

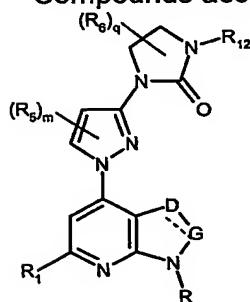
18. Compounds according to claim 15 of formula (IV),



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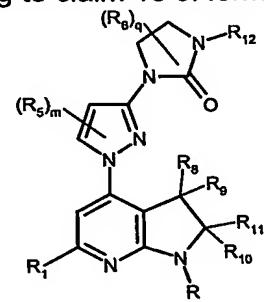
in which R, R₁, R₅, R₆, R₇, R₁₂, m, q, D and G have the meanings as defined in claim 1 and 2 and the dashed line may represent a double bond.

19. Compounds according to claim 18 of formula (IVa), (IVb) and (IVc),

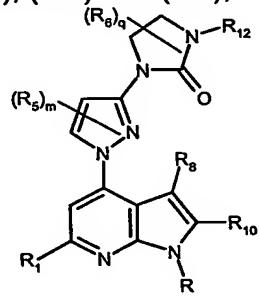


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(IVa)



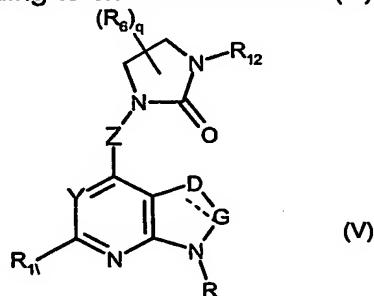
(IVb)



(IVc)

R, R₁, R₅, R₆, R₇, R₁₂, m, q, D and G have the meanings as defined in claim 1 and the dashed line may represent a double bond.

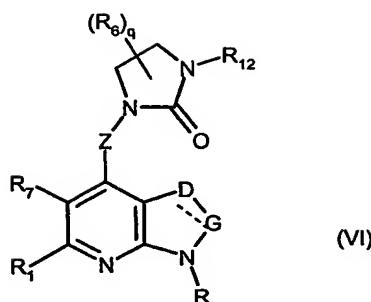
20. Compounds according to claim 3 of formula (V),



15

Z, R, R₁, R₆, q, Y, W, D and G have the meanings as defined in claim 1 and 2, and the dashed line may represent a double bond.

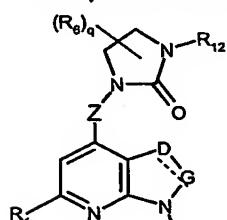
21. Compounds according to claim 20 of formula (VI),



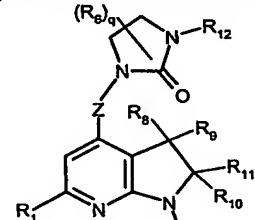
in which Z, R, R₁, R₆, R₇, q, Y, W, D and G have the meanings as defined in claim 1 and 2, and the dashed line may represent a double bond.

5 22.

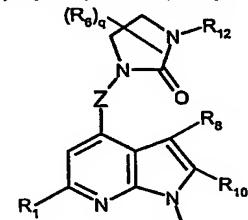
Compounds according to claim 21 of formula (Vla), (Vlb) and (Vlc),



(Vla)



(Vlb)



(Vlc)

in which R, R₁, R₆, R₈, R₉, R₁₀, R₁₁, R₁₂, q, D and G have the meanings as defined in claim 1 and 2 and the dashed line may represent a double bond.

10 23.

Compounds according to claim 22 of formula (Vla), (Vlb) and (Vlc), in which Z is selected in the group consisting from: pyrimidine, pyridine, thiazol, pyrazol, triazol and phenyl and R, R₁, R₆, R₈, R₉, R₁₀, R₁₁, R₁₂, q, D and G have the meanings as defined in claim 1 and 2.

15 24.

Compounds according to any of claims from 1 to 23 of formula (I), (IIb), (IIc), (IId), (IIe), (IIf), (IIg), (IIr), (III), (IIIA), (IIIB), (IIIC), (IIId), (IV), (IVa), (IVb), (IVc), (V), (VI), (Vla), (Vlb), (Vlc), wherein:

R₁ is C1-C3 alkyl group or halo C1-C3 alkyl group,

R₇ is hydrogen;

20

R₈, (R₉), R₁₀, (R₁₁) are hydrogen;

R is an aryl group selected from: 2,4-dichlorophenyl, 2-chloro-4-methylphenyl, 2-chloro-4-trifluoromethylphenyl, 2-chloro-4-methoxyphenyl, 2,4,5-trimethylphenyl, 2,4-dimethylphenyl, 2-methyl-4-methoxyphenyl, 2-methyl-4-ethoxyphenyl, 2-methyl-4-isopropoxyphenyl, 2-methyl-4-hydroxyphenyl, 2-methyl-4-chlorophenyl, 2-methyl-4-trifluoromethylphenyl, 2,4-dimethoxyphenyl, 2-methoxy-4-trifluoromethylphenyl, 2-methoxy-4-chlorophenyl, 3-methoxy-4-chlorophenyl, 2,5-dimethoxy-4-chlorophenyl, 2-methoxy-4-isopropylphenyl, 2-methoxy-4-trifluoromethylphenyl, 2-methoxy-

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isopropylphenyl, 2-methoxy-4-methylphenyl, 2-trifluoromethyl-4-chlorophenyl, 2,4-bis-trifluoromethylphenyl, 2-trifluoromethyl-4-methylphenyl, 2-trifluoromethyl-4-methoxyphenyl, 2-difluoromethyl-4-methoxyphenyl, 2-bromo-4-isopropylphenyl, 2-methyl-4-cyanophenyl, 2-chloro-4-cyanophenyl, 2-trifluoromethyl-4-cyanophenyl, 2-trifluoromethoxy-4-cyanophenyl, 2-ethyl-4-cyanophenyl, 2-methyl-4-trifluoromethoxyphenyl, 4-methyl-6-dimethylaminopyridin-3-yl, 2,6-bismethoxy-pyridin-3-yl, 2-methyl-6-methoxy-pyridin-3-yl, 2-trifluoromethyl-6-methoxy-pyridin-3-yl 3-chloro-5-trichloromethyl-pyridin-2-yl, 2-methyl-4-(pyrazol-1-yl)-phenyl, 2-methoxy-4-(pyrazol-1-yl)-phenyl, 2,4,6-trimethoxyphenyl, 2-methyl-4,5-benzodioxolyl, 2-methyl-3,4-benzodioxolyl.

25. Compounds of formula (I), (IIb), (IIc), (IId), (IIe), (IIf), (IIg), (III), (IIla), (IIlb), (IIlc), (IIId), (IV), (IVa), (IVb), (IVc), (V), (VI), (Vla), (Vlb), (Vlc), according to any of claims from 1 to 24 selected in the group consisting from:

15 1-{1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}imidazolidin-2-one (compound 1-1);
 1-{1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}-3-methylimidazolidin-2-one (compound 1-2);
 20 1-{1-[1-(2,4-Dichlorophenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}imidazolidin-2-one (compound 1-3);
 1-(1-{1-[2,4-Bis(trifluoromethyl)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-4);
 25 1-{1-[1-(4-Hydroxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}-2-imidazolidinone (compound 1-5);
 1-Acetyl-3-(1-{6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-5);
 30 1-Acetyl-3-(1-{6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-6);
 1-(1-{1-[4-(Ethyloxy)-2-methylphenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-7);
 35 1-[1-(6-Methyl-1-{2-methyl-4-[(1-methylethyl)oxy]phenyl}-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl)-1H-pyrazol-3-yl]-2-imidazolidinone (compound 1-8);
 1-[1-(6-Methyl-1-{2-methyl-4-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl)-1H-pyrazol-3-yl]-2-imidazolidinone (compound 1-9);
 3-Methyl-4-{6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl}benzonitrile (compound 1-10);
 40 1-(1-{6-Methyl-1-[2-methyl-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-11);
 4-{6-Methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl}-3-(trifluoromethyl)benzonitrile (compound 1-12);

1-(1-{1-[2-(Difluoromethyl)-4-(methyloxy)phenyl]-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-13);

5 4-{6-Methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl}-3-[(trifluoromethyl)oxy]benzonitrile (compound 1-14);

3-Ethyl-4-{6-methyl-4-[3-(2-oxo-1-imidazolidinyl)-1H-pyrazol-1-yl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl}benzonitrile (compound 1-15);

10 1-(1-{6-Methyl-1-[2-(methyloxy)-4-(1H-pyrazol-1-yl)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-16);

15 1-{1-[6-Methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}-2-imidazolidinone (compound 1-17);

1-(1-{6-Methyl-1-[2,4,6-tris(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-18);

20 1-{1-[6-Methyl-1-(6-methyl-1,3-benzodioxol-5-yl)-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}-2-imidazolidinone (compound 1-19);

1-(6-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-2-pyridinyl)-2-imidazolidinone (compound 1-20);

25 1-(4-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-2-pyrimidinyl)-2-imidazolidinone (compound 1-21);

1-(2-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-4-pyrimidinyl)-2-imidazolidinone (compound 1-22);

30 1-(1-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-23);

1-(1-{2,6-Dimethyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-24);

35 1-(3-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}phenyl)-2-imidazolidinone (compound 1-25);

1-(5-Methyl-1-{6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 1-26);

40 1-[1-(1-{4-[(difluoromethyl)oxy]-2-methylphenyl}-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl)-1H-pyrazol-3-yl]-2-imidazolidinone (compound 1-27);

1-{1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}pyrrolidin-2-one (compound 2-1);

1-{1-[1-(4-Methoxy-2-methylphenyl)-6-methyl-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl]-1H-pyrazol-3-yl}tetrahydropyrimidin-2(1H)-one (compound 3-1);

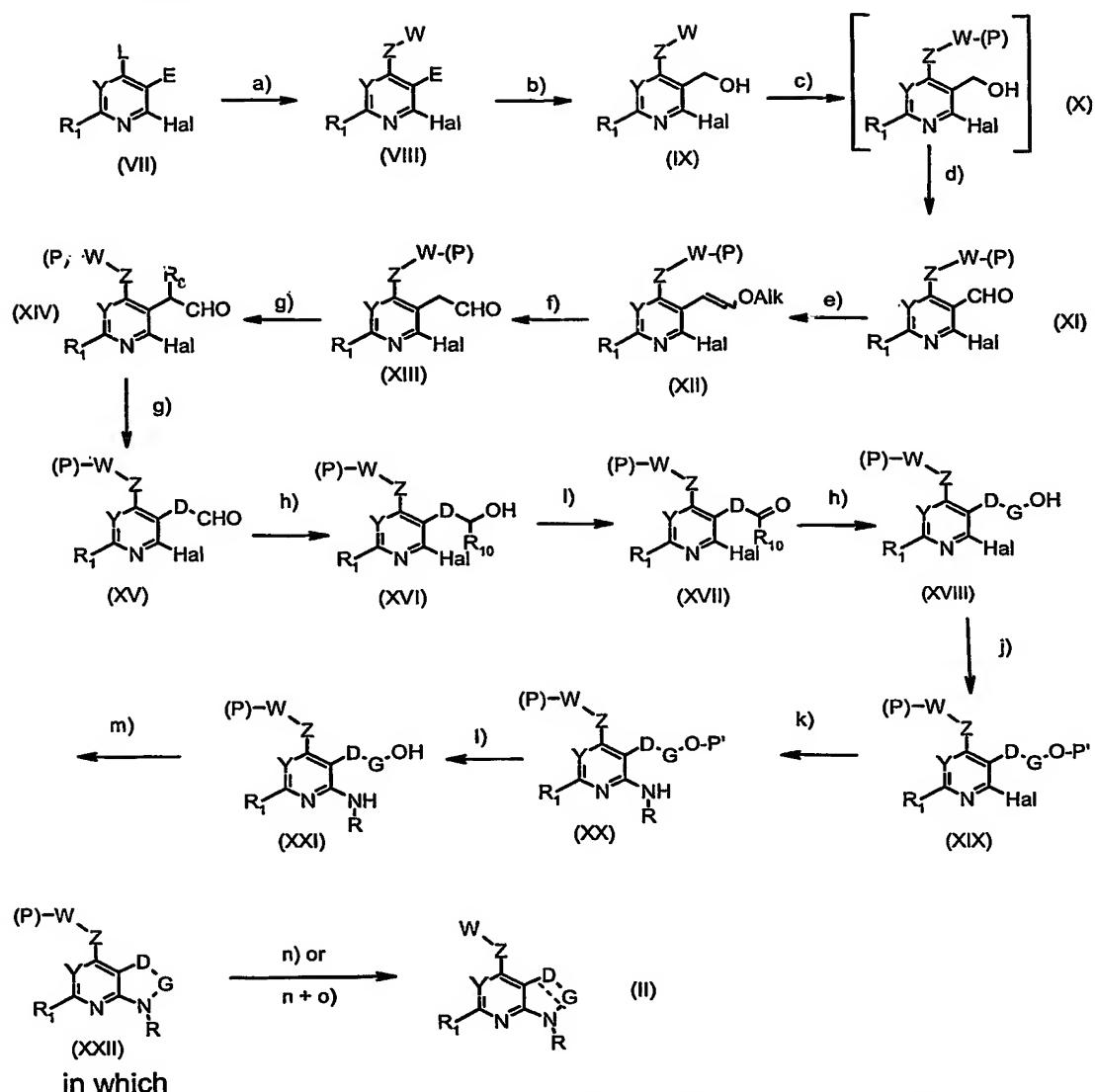
3-(1-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-1,3-oxazolidin-2-one (compound 4-1);

Methyl 5-(1-{6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-1,2,5-thiadiazolidine-2-carboxylate 1,1-dioxide) (compound 5-1);
5 4-[3-(1,1-Dioxido-1,2,5-thiadiazolidin-2-yl)-1H-pyrazol-1-yl]-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine (compound 5-2).
4-[3-(1,1-Dioxido-2-isothiazolidinyl)-1H-pyrazol-1-yl]-6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridine (compound 6-1);
10 3-Methyl-1-(1-{6-methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2(1H)-pyridinone (compound 7-1);
2-(1-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-3(2H)-pyridazinone (compound 8-1);
15 1-(1-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-1,3-dihydro-2H-imidazol-2-one (compound 9-1);
1-(1-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-1H-pyrrolo[2,3-b]pyridin-4-yl}-1H-pyrazol-3-yl)-2-imidazolidinone (compound 10-1);
20 1-(6-{6-Methyl-1-[2-methyl-4-(methyloxy)phenyl]-2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl}-3-pyridinyl)-2-imidazolidinone (compound 11-1);
1-{1-[7-(2,4-Dichlorophenyl)-2-methyl-6,7-dihydro-5H-pyrrolo[2,3-d]pyrimidin-4-yl]-1H-pyrazol-3-yl}-2-pyrrolidinone (compound 11-2).

26. Process for the preparation of the compounds of formula (II), starting from compounds of formula (VII), comprising the following steps as in Scheme 1:

25

Scheme 1



in which

- step a stands for conversion of the leaving group L, selected in a group consisting from: halogen or reactive residue of sulphonic acid (e.g. mesylate, tosylate), preferably chloride, in the compounds (VIII), by reaction with the suitable Z-W derivative;
- step b stands for reduction of the ester group (E) with a suitable reducing agent (such as DIBAI-H) to hydroxy group of compounds (IX);
- step c stands for suitable protection of an NH group eventually present in W group with a P group, such as a p-methoxybenzyl group;
- step d stands for oxidation of the hydroxy group with a suitable oxidizing agent (such as Dess-Martin periodinane) to the aldehyde group of compounds (XI);

steps e + f stands for formation of the aldehyde group of compounds (XIII) by Wittig reaction in the usual conditions, through formation of enol ether followed by acid hydrolysis (step f);

5 step g stands for the optional alkylation of the α position of the aldehyde by deprotonation with a suitable base (such as $\text{LiN}(\text{SiMe}_3)_2$), followed by the addition of a suitable alkylating agent (such as MeI) to form the alkylated aldehyde of compounds (XIV), (XV);

10 step h stands for the conversion of the aldehyde group group by a Grignard reagent (such as MeMgBr) into an alcohol group of compounds (XVI) and (XVIII);

15 step i stands for oxidation of the hydroxy group with a suitable oxidizing agent (such as Dess-Martin periodinane) to the ketone group of compounds (XVII);

20 step j stands for conversion of the hydroxy group in the suitable protecting group of compounds (XIX) (such as TBS: tert-butyldimethylsilyl);

step k stands for a Buchwald coupling reaction with the suitable amine RNH_2 to give the compounds of formula (XX);

25 step l stands for the deprotection reaction to give the hydroxy group of compounds (XXI);

step m stands for intramolecular cyclisation after conversion of the hydroxy group of compounds (XXI) in a suitable leaving group (such as bromide, by reaction with CBr_4 and PPh_3) to give the cyclized compounds (XXII);

30 step n stands for the deprotection reaction of the protected NH group eventually present in W group, to give final compounds (II);

step o stands for oxidation by a suitable oxidizing agent (such as DDQ) in order to give formation of the double bond of compounds (II), when D is CHR_8 and G is CHR_{10} .

30 27. The use of a compound according to any of claims from 1 to 25, in the preparation of a medicament for use in the treatment of conditions mediated by CRF (corticotropin-releasing factor).

35 28. The use of a compound according to claim 27, in the preparation of a medicament for use in the treatment of depression and anxiety.

29. The use of a compound according to claim 27, in the preparation of a medicament for use in the treatment of IBS (irritable bowel disease) and IBD (inflammatory bowel disease).

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30. A compound according to any of claims from 1 to 25, for use in the treatment of conditions mediated by CRF (corticotropin-releasing factor).

5 31. A compound according to claim 30, for use in the treatment of depression and anxiety.

32. A compound according to claim 30, for use in the treatment of IBS (irritable bowel disease) and IBD (inflammatory bowel disease).

10 33. A pharmaceutical composition comprising a compound according to any of claims from 1 to 25, in admixture with one or more physiologically acceptable carriers or excipients.

15 34. A method for the treatment of a mammal, including man, in particular in the treatment of conditions mediated by CRF (corticotropin-releasing factor), comprising administration of an effective amount of a compound according to any of claims from 1 to 25.

20 35. A method, according to claim 34, in the treatment of depression and anxiety, comprising administration of an effective amount of a compound according to any of claims 1 to 25.

25 36. A method, according to claim 34, in the treatment of IBS (irritable bowel disease) and IBD (inflammatory bowel disease), comprising administration of an effective amount of a compound according to any of claims 1 to 25.